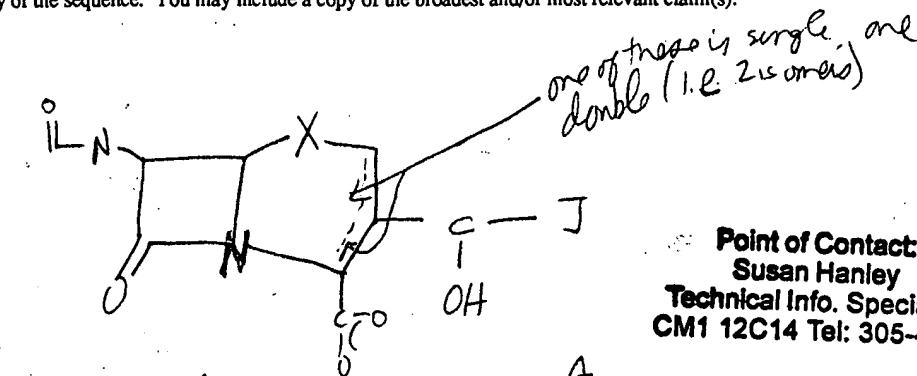


SEARCH REQUEST FORM

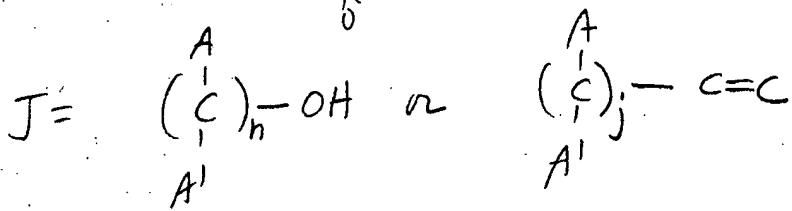
Requestor's Name: BERCH Serial Number: 09/918152
 Date: 2/26/02 Phone: 404 4718 Art Unit: 1624
4D15 4E12

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).



Point of Contact:
 Susan Hanley
 Technical Info. Specialist
 CM1 12C14 Tel: 305-4053



$$n = 2-5 \quad j = 1-3$$

$$A, A' = H/CH_3$$

$$X = S/C/O$$

MARY

L1

STAFF USE ONLY

2/28
 Date completed: 3/7
 Searcher: Hanley
 Terminal time: _____
 Elapsed time: _____
 CPU time: _____
 Total time: _____
 Number of Searches: _____
 Number of Databases: _____

| Search Site | Vendors |
|--|---------------------------------------|
| <input type="checkbox"/> STIC | <input type="checkbox"/> IG Suite |
| <input type="checkbox"/> CM-1 | <input type="checkbox"/> STN |
| <input type="checkbox"/> Pre-S | <input type="checkbox"/> Dialog |
| Type of Search | APS |
| <input type="checkbox"/> N.A. Sequence | <input type="checkbox"/> Geninfo |
| <input type="checkbox"/> A.A. Sequence | <input type="checkbox"/> SDC |
| <input type="checkbox"/> Structure | <input type="checkbox"/> DARC/Questel |
| <input type="checkbox"/> Bibliographic | <input type="checkbox"/> Other |

=> d his

(FILE 'HOME' ENTERED AT 16:12:58 ON 07 MAR 2002)

FILE 'HCAPLUS' ENTERED AT 16:13:17 ON 07 MAR 2002
 L1 242 S BEST D?/AU
 L2 578 S BURTON G?/AU
 L3 20 S GASSON B?/AU
 L4 1808 S WALKER G?/AU
 L5 1 S L1 AND L2 AND L3 AND L4
 SELECT RN L5 1

FILE 'REGISTRY' ENTERED AT 16:15:28 ON 07 MAR 2002
 L6 20 S E1-20

FILE 'HCAPLUS' ENTERED AT 16:15:52 ON 07 MAR 2002
 L7 1 S L5 AND L6

FILE 'REGISTRY' ENTERED AT 16:16:39 ON 07 MAR 2002
 L8 STR
 L9 0 S L8
 L10 SCREEN 1839 AND 1993 AND 2008
 L11 0 S L8 AND L10
 L12 0 S L8 AND L10 FUL
 SAVE L12 BER152P/A

FILE 'HCAPLUS' ENTERED AT 16:29:17 ON 07 MAR 2002
 L13 2 S L12 2 cites for L12 cpds
 L14 1 S L13 NOT L7 1 cite that is not appl. work

FILE 'CAOLD' ENTERED AT 16:30:15 ON 07 MAR 2002
 L15 0 S L12 no cites

FILE 'BEILSTEIN' ENTERED AT 16:30:34 ON 07 MAR 2002
 L16 1 S L8 FUL
 L17 0 S L12
 L18 1 S L16 AND PRE/FA
 P066120K PRINT OFFLINE QRD

inventor search

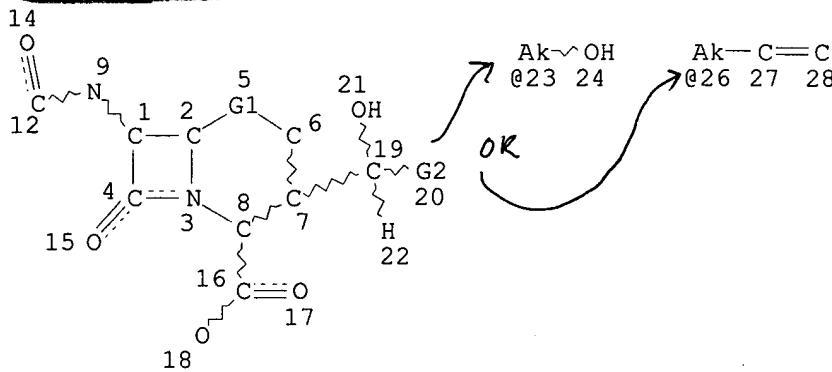
1 S L5 AND L6 citation with 20 compounds displayed

7 compounds from full file search

=> d que 113

L8

STR



VAR G1=S/C/O

VAR G2=23/26

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 23

CONNECT IS E2 RC AT 26

DEFAULT MLEVEL IS ATOM

GGCAT IS SAT AT 23

GGCAT IS SAT AT 26

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

L10 SCR 1839 AND 1993 AND 2008

L12 7 SEA FILE=REGISTRY SSS FUL L8 AND L10

L13 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L12

=> d ibib abs hitstr

L7 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 2002:104661 HCAPLUS
 DOCUMENT NUMBER: 136:151036
 TITLE: Process for the preparation of cephalosporin compounds
 and their intermediates
 INVENTOR(S): Burton, George; Best, Desmond John
 ; Gasson, Brian Charles; Osborne, Neal
 Frederick; Walker, Graham
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: Eur. Pat. Appl., 22 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| EP 1178049 | A1 | 20020206 | EP 2001-306325 | 20010723 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| PRIORITY APPLN. INFO.: | | | GB 2000-19124 | A 20000803 |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

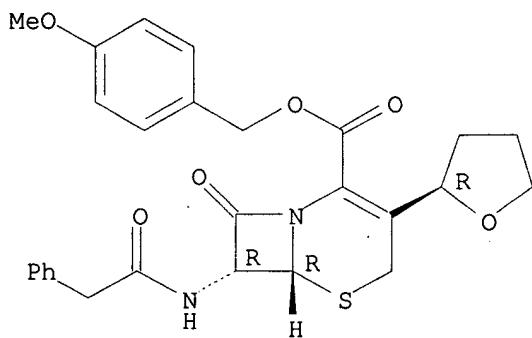
AB A process for prep. cephalosporins I (R1 = H, OMe, formamido; R2 = acyl; CO2R3 = carboxy group or CO2- or readily removable carboxy protecting group; R4 = H, or up to four substituents from alkyl, alkenyl, alkynyl, alkoxy, halogen, amino, alkyl(acyl)amino, CO2R, CONR2, SO2NR2 (R = H, C1-6 alkyl), aryl, heterocycle, etc.; X = S, SO, SO2, O, CH2; m = 1-2; dotted lines indicate a 2- or 3-cephem system) was accomplished via the cyclization of II. Thus the 3-(R and S)-tetrahydrofuran-2-yl-2-em compds. III were prep'd. and the S isomer was converted to the 3-(S)-tetrahydrofuran-2-yl-3-em III in several steps.

IT 141194-60-7P 395660-97-6P 395660-99-8P
 395661-00-4P 395661-04-8P 395661-06-0P
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (process for prep. cephalosporin compds. and their intermediates)

RN 141194-60-7 HCAPLUS

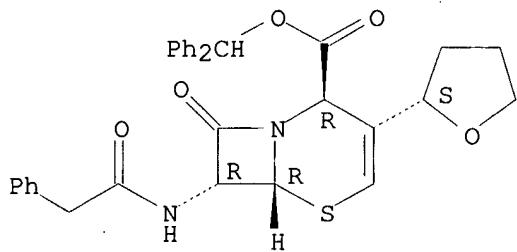
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 8-oxo-7-[(phenylacetyl)amino]-3-[(2R)-tetrahydro-2-furanyl]-,
 (4-methoxyphenyl)methyl ester, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



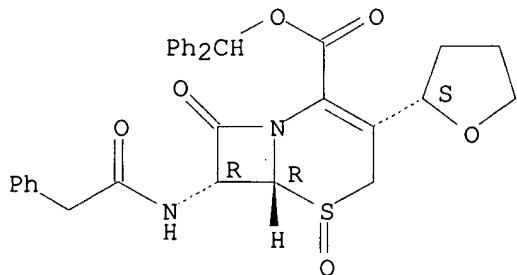
RN 395660-97-6 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



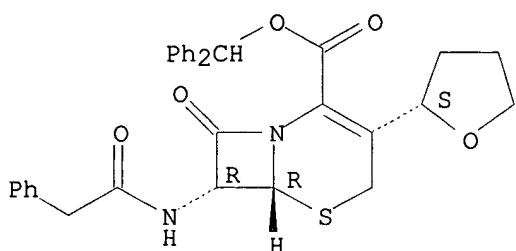
RN 395660-99-8 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



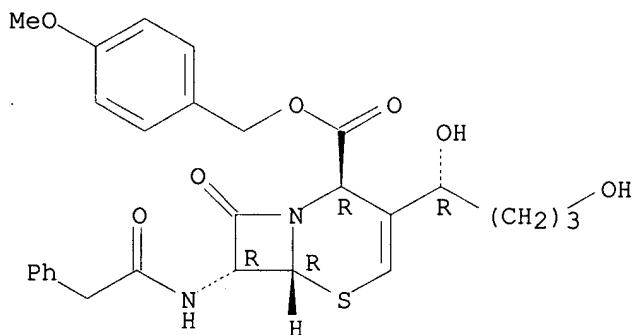
RN 395661-00-4 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



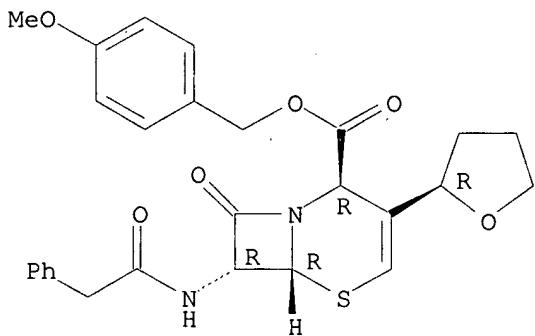
RN 395661-04-8 HCPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 395661-06-0 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

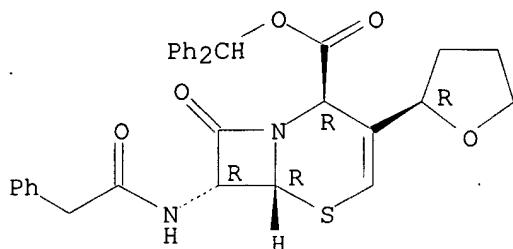


IT 395660-98-7P 395661-01-5P 395661-05-9P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for prepq. cephalosporin compds. and their intermediates)

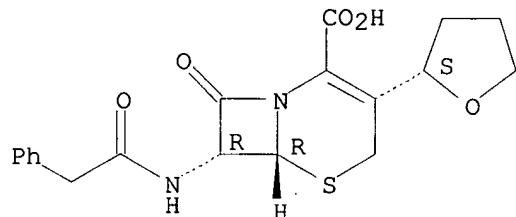
RN 395660-98-7 HCPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 395661-01-5 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

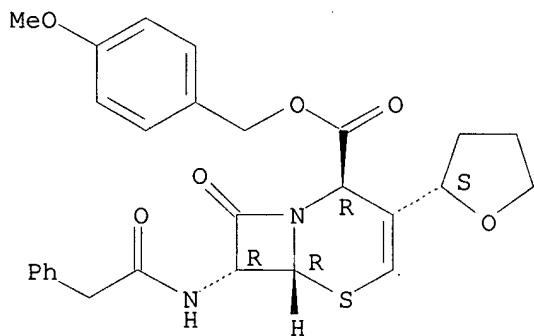
Absolute stereochemistry.



● Na

RN 395661-05-9 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

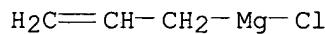
Absolute stereochemistry.



IT 627-30-5, 3-Chloropropan-1-ol 2622-05-1, Allylmagnesium
 chloride 39914-26-6 72824-04-5, 2-Allyl-4,4,5,5-
 tetramethyl-1,3,2-dioxaborolane 171229-07-5, Dimethyl
 (R,R)-2-allyl-1,3,2-dioxaborolane-4,5-dicarboxylate 395661-02-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (process for prep. cephalosporin compds. and their intermediates)
 RN 627-30-5 HCAPLUS
 CN 1-Propanol, 3-chloro- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

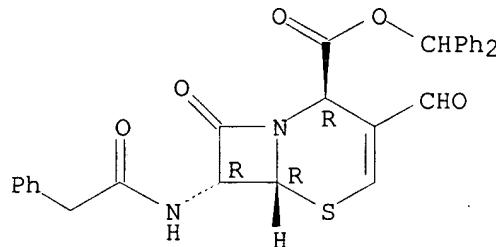


RN 2622-05-1 HCAPLUS
 CN Magnesium, chloro-2-propenyl- (9CI) (CA INDEX NAME)

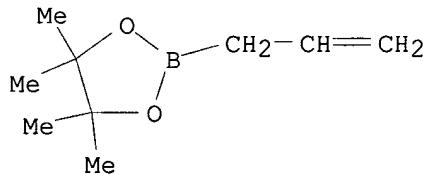


RN 39914-26-6 HCAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-3-ene-2-carboxylic acid,
 3-formyl-8-oxo-7-[(phenylacetyl)amino]-, diphenylmethyl ester, (2R,6R,7R)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

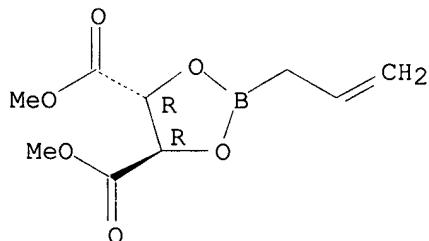


RN 72824-04-5 HCAPLUS
 CN 1,3,2-Dioxaborolane, 4,4,5,5-tetramethyl-2-(2-propenyl)- (9CI) (CA INDEX NAME)



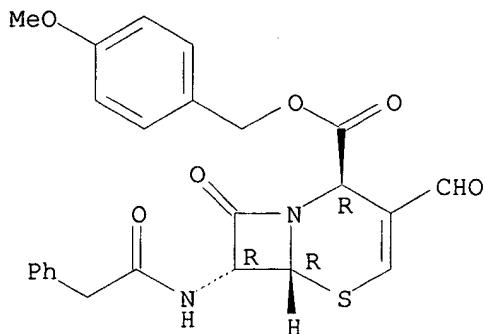
RN 171229-07-5 HCAPLUS
 CN 1,3,2-Dioxaborolane-4,5-dicarboxylic acid, 2-(2-propenyl)-, dimethyl ester, (4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 395661-02-6 HCAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



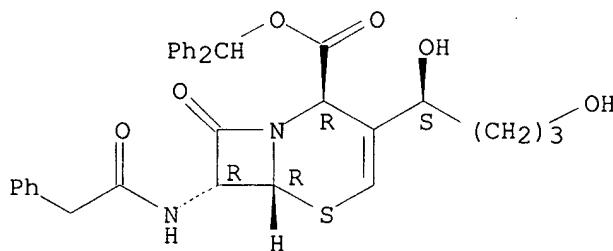
IT 395660-95-4P 395660-96-5P 395661-03-7P
 395661-07-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (process for prep. cephalosporin compds. and their intermediates)

RN 395660-95-4 HCPLUS

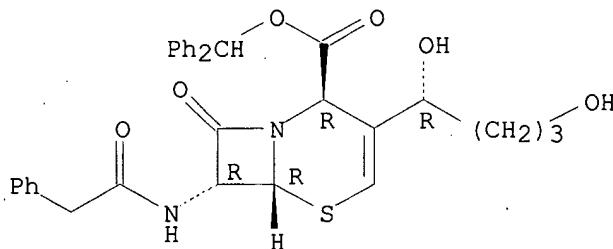
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



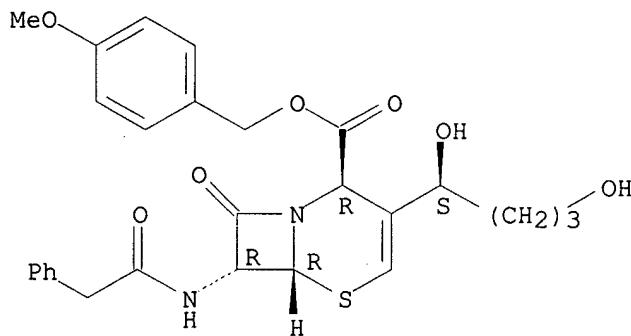
RN 395660-96-5 HCPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



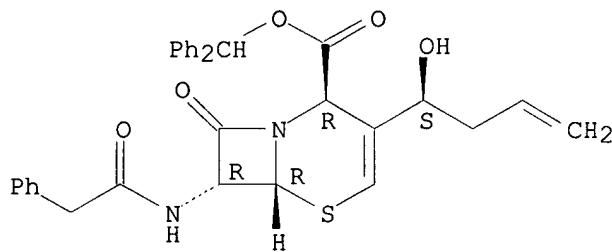
RN 395661-03-7 HCPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



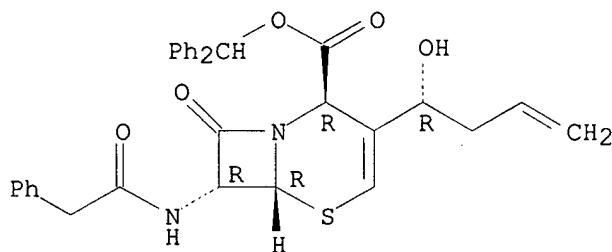
RN 395661-07-1 HCPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 395661-08-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (process for prep. cephalosporin compds. and their intermediates)
 RN 395661-08-2 HCPLUS
 CN INDEX NAME NOT YET ASSIGNED

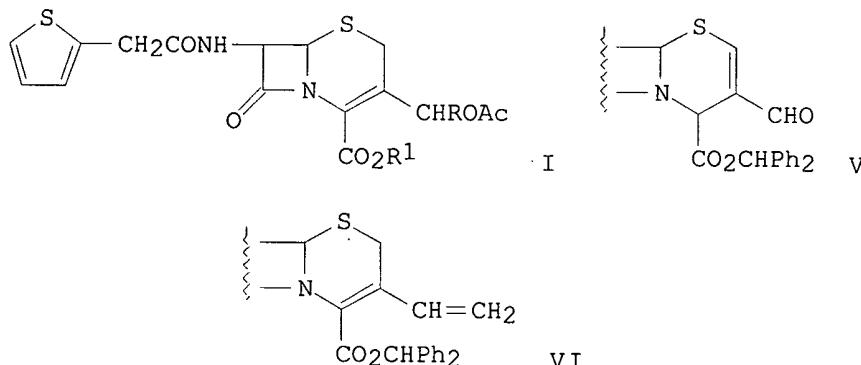
Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr

L14 ANSWER 1 OF 1 HCPLUS .COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:407185 HCPLUS
DOCUMENT NUMBER: 95:7185
TITLE: Some novel C(3)-substituted cephalothin derivatives
AUTHOR(S): Valcavi, Umberto; Brandt, Alberto; Corsi, G. Bruno;
Minoja, Fabrizio; Pascucci, Giorgio
CORPORATE SOURCE: Ist. Chim. Org., Univ. Milano, Milan, 20100, Italy
SOURCE: Gazz. Chim. Ital. (1980), 110(9-10), 519-22
DOCUMENT TYPE: CODEN: GCITA9; ISSN: 0016-5603
LANGUAGE: Journal
GI English



AB Cephalothin derivs. I [R, R1 = CH2OAc, H; CH:CH2, CPhH2 (II); C.tplbond.CH, CH2OMe (III); C.tplbond.CMe, CPhH2 (IV), etc.] were prep'd. from V or VI. It was impossible to obtain II, III, and IV as free acids owing to a very fast acetate group displacement.

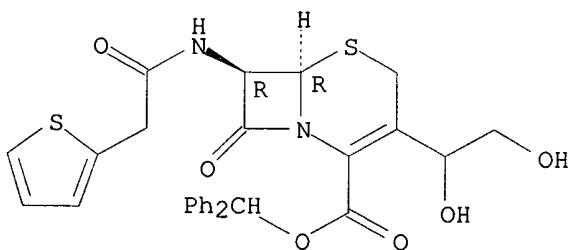
IT 77725-26-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with acetyl chloride)

RN 77725-26-9 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-(1,2-dihydroxyethyl)-8-oxo-7-[(2-thienylacetyl)amino]-, diphenylmethyl
ester, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d all

L18 ANSWER 1 OF 1 COPYRIGHT 2002 BEILSTEIN/CDS MDLI

Beilstein Reg. No. (BRN): 6552397 Beilstein
 Molecular Formula (MF): C28 H26 N2 O6 S2
 Autonom Name (AUN): 3-(1,2-dihydroxy-ethyl)-8-oxo-7-(2-thiophen-2-yl-acetylamino)-5-thia-1-aza-bicyclo<4.2.0>oct-2-ene-2-carboxylic acid benzhydryl ester
 Beilstein Reference (SO): 6-27
 General Comments (NTE): Stereo compound
 Formula Weight (FW): 550.64
 Lawson Number (LN): 31715; 19524; 5520

Ring System Data:

Number of Rings (CNR): 5
 Ring Systems (CNRS): 4
 Diff. Ring Systems (CNDRS): 3
 Ring Heteros (CNRH): 3
 Acyclic Heteros (CNAH): 7

| Beilstein Ring Index (BRIX) | Ring System Formula (RF) | BRIX Count |
|--------------------------------|-----------------------------|---------------|
| 8.2.4-2.26-1.2 | C6NS | 1 |
| 6.1.0-0.0-3.1 | C6 | 2 |
| 5.1.0-1.3-2.2 | C4S | 1 |

I will deliver this to
 you as soon as I
 receive it from
 Beilstein

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Preparation:

PRE

Start: BRN=6550775 benzhydryl 7-(2-thienylacetamido)-3-vinyl-3-cephem-4-carboxylate

Reag: OsO₄, KCLO₃

Solv: tetrahydrofuran, H₂O

Reference(s):

1. Valcavi, Umberto; Brandt, Alberto; Corsi, G. Bruno; Minoja, Fabrizio; Pascucci, Giorgio, Gazz.Chim.Ital., 110 <1980> 9/10, 519-522, LA: EN, CODEN: GCITA9

Note(s):

2. Yield given